

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
20 March 2003 (20.03.2003)

PCT

(10) International Publication Number
WO 03/022210 A3

- (51) International Patent Classification⁷: **C07J 9/00**, (74) Agent: **STRICKLAND, J., Michael**; Myers Bigel Sibley & Sajovec, PO Box 37428, Raleigh, NC 27627 (US).
A61K 38/03, 38/04, 38/16, C07K 14/585
- (21) International Application Number: PCT/US02/28536
- (22) International Filing Date:
6 September 2002 (06.09.2002)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
60/318,193 7 September 2001 (07.09.2001) US
60/377,865 3 May 2002 (03.05.2002) US
- (71) Applicant (for all designated States except US): **NOBEX CORPORATION** [US/US]; P.O. Box 13940, Research Triangle Park, NC 27709-3940 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **SOLTERO, Richard** [US/US]; 5509 Solomon's Seal Court, Holly Springs, NC 27540 (US). **EKWURIBE, Nnochiri, N.** [NG/US]; 216 Coltsgate Dr., Cary, NC 27511 (US). **OPAWALE, Foyeke** [US/US]; 4351 Southwind Drive, Raleigh, NC 27613 (US). **REHLAENDER, Bruce** [US/US]; 604 Highgrove Drive, Chapel Hill, NC 27516 (US). **HICKEY, Anthony** [GB/US]; 1208 Killington Court, Chapel Hill, NC 27517 (US). **BOVET, Li, Li** [—/—]; 110 Marin Drive, Chapel Hill, NC 27516 (US).
- (81) Designated States (national): AE, AG, AI, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Published:
— with international search report
- (88) Date of publication of the international search report:
18 December 2003
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.



WO 03/022210 A3

(54) Title: PHARMACEUTICAL COMPOSITIONS OF DRUG-OLIGOMER CONJUGATES AND METHODS OF TREATING DISEASES THEREWITH

(57) Abstract: Pharmaceutical compositions that include a drug-oligomer conjugate, a fatty acid component, and a bile salt component are described. The drug is covalently coupled to an oligomeric moiety. The fatty acid component and the bile salt component are present in a weight-to-weight ratio of between 1:5 and 5:1. Methods of treating diseases in a subject in need of such treatment using such pharmaceutical compositions are also provided, as are methods of providing such pharmaceutical compositions.

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US02/28536

A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : C07J 9/00; A61K 38/03, 38/04, 38/16; C07K 14/585

US CL : 552/549, 550; 554/1, 35; 514/2, 808; 530/307, 324

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

U.S. : 552/549, 550; 554/1, 35; 514/2, 808; 530/307, 324

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched
none

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
Please See Continuation Sheet

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 5,693,609 A (BAKER et al.) 02 December 1997 (02.12.1997), see entire document, especially, column 3-4.	1-4
A	US 6,255, 502 B1 (PENKLER et al.) 03 July 2001 (03.07.2001), see entire document, especially column 3-4.	1-4

☐ Further documents are listed in the continuation of Box C.

☐ See patent family annex.

* Special categories of cited documents:		"T"	later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"A"	document defining the general state of the art which is not considered to be of particular relevance	"X"	document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"E"	earlier application or patent published on or after the international filing date	"Y"	document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"L"	document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	"&"	document member of the same patent family
"O"	document referring to an oral disclosure, use, exhibition or other means		
"P"	document published prior to the international filing date but later than the priority date claimed		

Date of the actual completion of the international search

12 June 2003 (12.06.2003)

Date of mailing of the international search report

12 SEP 2003

Name and mailing address of the ISA/US

Mail Stop PCT, Attn: ISA/US
Commissioner for Patents
P.O. Box 1450
Alexandria, Virginia 22313-1450

Facsimile No. (703)305-3230

Authorized officer

Anish Gupta

Telephone No. 703-308-0196

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US02/28536

Box I Observations where certain claims were found unsearchable (Continuation of Item 1 of first sheet)

This international report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claim Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claim Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
3. ☒ Claim Nos.: 5-49
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
 2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
 3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
 4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:
- Remark on Protest ☐ The additional search fees were accompanied by the applicant's protest.
☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

PCT/US02/28536

Continuation of B. FIELDS SEARCHED Item 3:
CAS ONLINE, WPIDS, EAST, EMBASE, MEDLINE
search terms: oligomer, bile, fatty, peptide, calcitonin, insulin